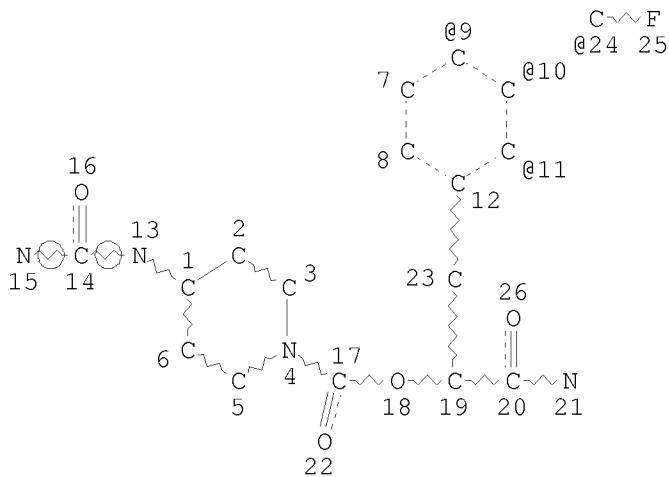


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L1 HAS NO ANSWERS
L1 STR



VPA 24-9/10/11 U
NODE ATTRIBUTES:
NSPEC IS R AT 21
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 10 4
NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

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100.0% PROCESSED 2265 ITERATIONS 766 ANSWERS
SEARCH TIME: 00.00.01

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COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
182.04 182.25

FILE 'CAPLUS' ENTERED AT 17:45:42 ON 17 JUL 2008
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FILE COVERS 1907 - 17 Jul 2008 VOL 149 ISS 3
FILE LAST UPDATED: 16 Jul 2008 (20080716/ED)

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=> s 13
L4 15 L3

=> d bib abs 1-15

L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1420376 CAPLUS
DN 148:24453
TI Treatment of gastrointestinal disorders with CGRP-antagonists
IN Doods, Henri; Arndt, Kirsten; Bouyssou, Thierry; Mueller, Stephan Georg;
Rudolf, Klaus; Schaenzle, Gerhard
PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim
Pharma G.m.b.H. & Co. K.-G.
SO PCT Int. Appl., 35pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|----------|
| PI | WO 2007141285 | A1 | 20071213 | WO 2007-EP55543 | 20070606 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | US 20080139591 | A1 | 20080612 | US 2007-760054 | 20070608 |
| | US 20080139537 | A1 | 20080612 | US 2007-760057 | 20070608 |

PRAI EP 2006-11787 A 20060608

AB The invention relates to a method for preventing and treating visceral pain and gastrointestinal disorders such as functional bowel disorders and inflammatory bowel diseases through the use of effective amts. of a compound acting as CGRP antagonist. Twenty eight compds. are calimed (no data).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1176398 CAPLUS

DN 147:455648
 TI New crystalline compounds of CGRP antagonists
 IN Ries, Uwe; Sproll, Sonja; Werthmann, Ulrike; Zopf, Andreas; Huchler, Guenther
 PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
 SO Ger. Offen., 68pp.
 CODEN: GWXXBX
 DT Patent
 LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-----------------|------|--|----------------------|----------|
| PI | DE 102006017827 | A1 | 20071018 | DE 2006-102006017827 | 20060413 |
| | WO 2007118819 | A2 | 20071025 | WO 2007-EP53488 | 20070411 |
| | WO 2007118819 | A3 | 20080529 | | |
| | | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | |
| | | RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | |
| | US 20080086003 | A1 | 20080410 | US 2007-734520 | 20070412 |

PRAI DE 2006-102006017827 A 20060413

AB The invention concerns novel crystalline compds. of CGRP antagonists that are prepared as salts of hydrochloric acid, hydrobromic acid, sulfuric acid, phosphoric acid, benzene sulfonic acid, p-toluene sulfonic acid, maleic acid, succinic acid, fumaric acid, D-(-)-tartaric acid, L-(+)-tartaric acid, naphthalene 2-sulfonic acid and naphthalene-1,5-disulfonic acid, their polymorph modifications, solvates and hydrates.

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:376170 CAPLUS

DN 146:402012

TI Preparation of benzodiazepinones, quinolones, quinazolones, and related compounds as calcitonin gene-related peptide (CGRP) receptor antagonists
 IN Mueller, Stephan Georg; Rudolf, Klaus; Lustenberger, Philipp; Schaenzle, Gerhard; Stenkamp, Dirk; Doods, Henri; Arndt, Kirsten
 PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
 SO PCT Int. Appl., 142pp.
 CODEN: PIXXD2

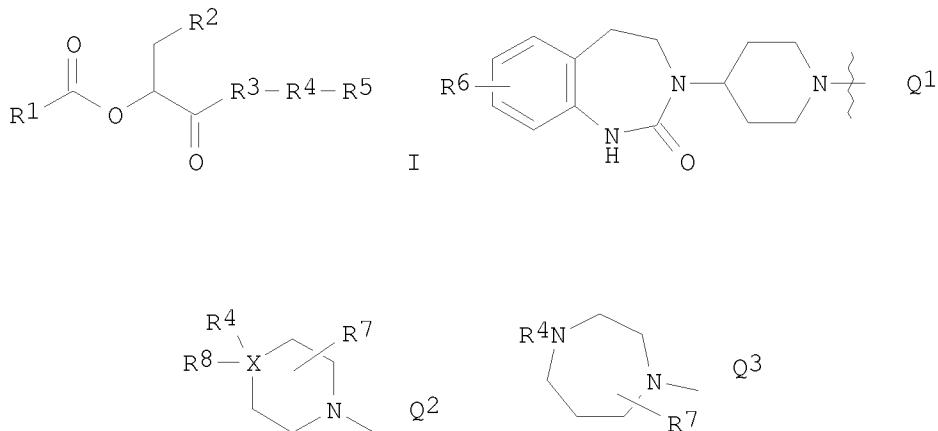
DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|--|-----------------|----------|
| PI | WO 2007036533 | A2 | 20070405 | WO 2006-EP66789 | 20060927 |
| | WO 2007036533 | A3 | 20070607 | | |
| | | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | |

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
 EP 1770087 A1 20070404 EP 2005-21282 20050929
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
 BA, HR, MK, YU
 EP 1931646 A2 20080618 EP 2006-793855 20060927
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 PRAI EP 2005-21282 A 20050929
 WO 2006-EP66789 W 20060927
 OS MARPAT 146:402012
 GI



AB Title compds. [I; R1 = Q1, etc.; R2 = (substituted) Ph, pyridin-3-yl; R3 = Q2, Q3; X = N, C; R4 = Ph, pyridinyl; R5 = C(O)OR9; R6 = H, halo, OH, CF3, alkoxy; R7 = H, alkyl, etc.; R8 = a free electron pair if X = N or R8 = H, alkyl if X = C; R9 = H, alkyl, Ph, indanyl, etc.], tautomers, isomers, diastereomers, enantiomers, hydrates, mixts. salts and salt hydrates thereof, in particular salts thereof, which are physiol. compatible with acids or inorg. or organic bases, were prepared Thus, a solution of 4-(2-oxo-1,3,4,5-tetrahydro-1,3-benzodiazepin-3-yl)piperidin-1-carboxylic acid (R)-1-(4-amino-3-chloro-5-trifluoromethylbenzyl)-2-[4-(4-ethoxycarbonylphenyl)piperazin-1-yl]-2-oxoethylester (preparation given), TBTU and Et3N in DMF was stirred for 10 min at room temperature followed by stirring with Et 4-piperazin-1-ylbenzoate for 2 h to give 95% I [R1 = Q1; R6 = H; R2 = (4-amino-3-chloro-5-trifluoromethyl)phenyl; R3 = Q2; X = N; R7 = H; R8 = electron pair; R4 = Ph; R5 = 4-ethyloxycarbonyl]. Tested I showed affinity to human CGRP receptors with IC50 ≤10,000 nM.

L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:197982 CAPLUS

DN 146:274408

TI Preparation of N-(carbomethoxy)piperidines as CGRP antagonists

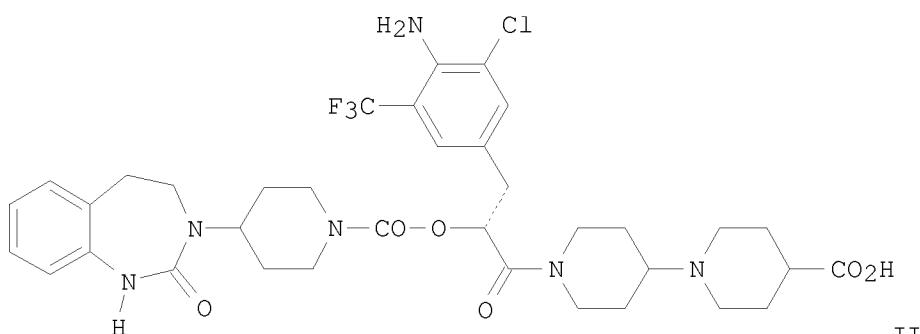
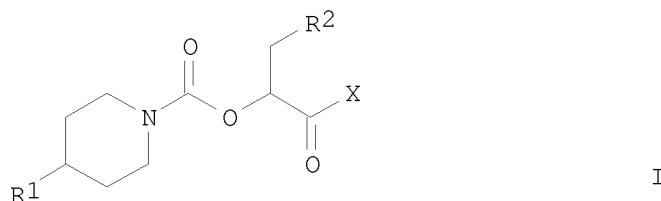
IN Mueller, Stephan Georg; Rudolf, Klaus; Lustenberger, Philipp; Schaenzle, Gerhard; Santagostino, Marco; Stenkamp, Dirk; Arndt, Kirsten; Doods, Henri
PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim

Pharma G.m.b.H. & Co. K.-G.
 SO PCT Int. Appl., 377pp.
 CODEN: PIXXD2

DT Patent
 LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|----------------------|----------|
| PI | WO 2007020261 | A2 | 20070222 | WO 2006-EP65314 | 20060815 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | DE 102005038831 | A1 | 20070222 | DE 2005-102005038831 | 20050817 |
| | DE 102005050953 | A1 | 20070426 | DE 2005-102005050953 | 20051025 |
| | US 20070049581 | A1 | 20070301 | US 2006-462511 | 20060804 |
| | AU 2006281416 | A1 | 20070222 | AU 2006-281416 | 20060815 |
| | CA 2618834 | A1 | 20070222 | CA 2006-2618834 | 20060815 |
| | EP 1917256 | A2 | 20080507 | EP 2006-778243 | 20060815 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | | |
| | MX 200801977 | A | 20080325 | MX 2008-1977 | 20080211 |
| | KR 2008039990 | A | 20080507 | KR 2008-706215 | 20080313 |
| PRAI | DE 2005-102005038831 | A | 20050817 | | |
| | DE 2005-102005050953 | A | 20051025 | | |
| | WO 2006-EP65314 | W | 20060815 | | |
| OS | MARPAT 146:274408 | | | | |
| GI | | | | | |



AB Title compds. I [X = R3-R4; R1 = substituted 3,4-dihydro-1H-quinazolin-2-ones, 1,3,4,5-tetrahydro-2H-benzo-1,3-diazepin-2-ones, etc.; R2 = 2-chloro-6-methylaniline, 2-chloro-6-(trifluoromethyl)aniline, etc.; R3 = substituted piperidines with provisos; R4 = substituted piperidines with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, N-(carbomethoxy)piperidine was prepared from 4-amino-3-chloro-5-trifluoromethylbenzaldehyde in 9-steps. In CGRP receptor binding assays, compds. I exhibited IC₅₀ values ≤10000 nM.

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2006:1173484 CAPLUS

DN 145:489283

TI N-Acylpiperidines and related compounds as CGRP-antagonists, methods for preparing them, pharmaceutical compositions and their use as pharmaceutical compositions

IN Mueller, Stephan Georg; Rudolf, Klaus; Lustenberger, Philipp; Stenkamp, Dirk; Santagostino, Marco; Paleari, Fabio; Schaenzle, Gerhard; Arndt, Kirsten; Doods, Henri

PA Boehringer Ingelheim International GmbH, Germany

SO U.S. Pat. Appl. Publ., 156pp.

CODEN: USXXCO

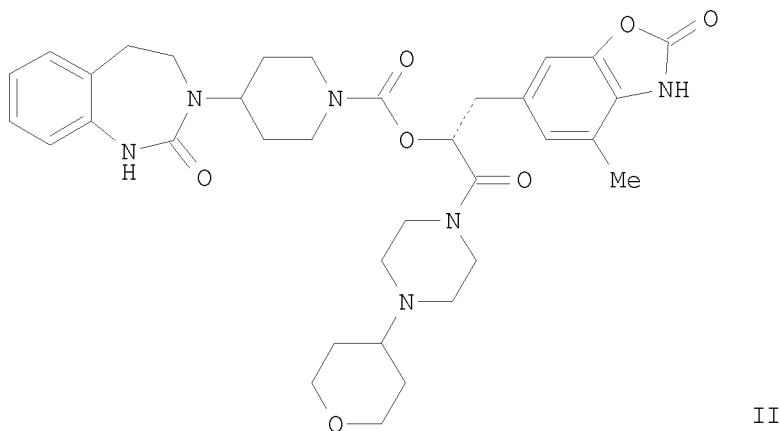
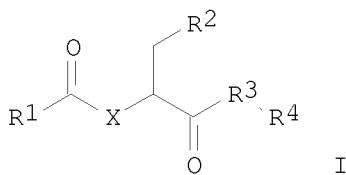
DT Patent

LA English

FAN.CNT 6

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | US 20060252931 | A1 | 20061109 | US 2006-277177 | 20060322 |
| | WO 2005092880 | A1 | 20051006 | WO 2005-EP3094 | 20050323 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | WO 2005103037 | A2 | 20051103 | WO 2005-EP4104 | 20050418 |
| | WO 2005103037 | A3 | 20060112 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1770091 | A1 | 20070404 | EP 2005-21283 | 20050929 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | |
| PRAI | AR 2005-101139 | A | 20050323 | | |
| | WO 2005-EP3094 | A | 20050323 | | |
| | WO 2005-EP4104 | A | 20050418 | | |

EP 2005-21283 A 20050929
 DE 2004-102004015723 A 20040329
 DE 2004-102004019492 A 20040422
 OS MARPAT 145:489283
 GI



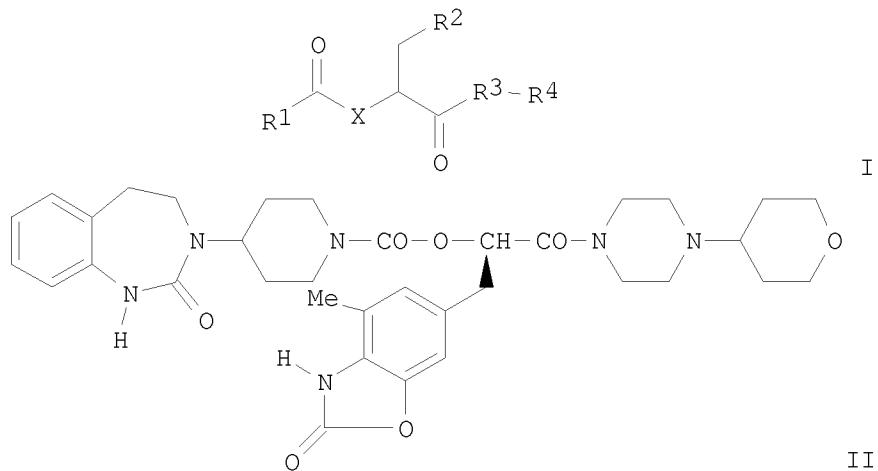
AB The invention relates to the CGRP-antagonists of general formula I, the tautomers, the isomers, the diastereomers, the enantiomers, the hydrates, mixts. and salts thereof and the hydrates of the salts, particularly the physiol. acceptable salts thereof with inorg. or organic acids or bases, as well as those compds. of general formula I in which one or more hydrogen atoms are replaced by deuterium, pharmaceutical compns. containing these compds., the use thereof and processes for the preparation thereof. Compds. of formula I wherein X is CH₂, NH, C₁-3 alkyl-N, O and S; R₁ is (spiro)substituted piperidine and oxodihydrothienopyrimidinyl; R₂ is (un)substituted (un)fused aryl, and (un)substituted (un)fused pyridine; R₃ is (un)substituted piperidine, (un)substituted piperazine, and (un)substituted diazepine; R₄ is (un)substituted 4- to 7-membered oxycycloalkyl; and their tautomers and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cyclization of 2-amino-3-methylphenol with CDI; the resulting 4-methyl-3H-benzoxazole-2-one underwent bromination to give 6-bromo-4-methyl-3H-benzoxazol-2-one, which underwent coupling with Me 2-acetylaminoacrylate to give Me 2-acetylamino-3-(4-methyl-2-oxo-2,3-dihydrobenzoxazol-6-yl)acrylate, which underwent hydrolysis to give 3-(4-methyl-2-oxo-2,3-dihydrobenzoxazol-6-yl)-2-oxopropionic acid, which underwent asym. reduction to give (R)-2-hydroxy-3-(4-methyl-2-oxo-2,3-dihydrobenzoxazol-6-yl)propionic acid, which underwent esterification to give the corresponding Me ester, which reacted with 4-nitrophenyl chloroformate and 3-(piperidin-4-yl)-1,3,4,5-tetrahydro-1,3-benzodiazepin-2-one followed by hydrolysis to give (R)-1-carboxy-2-(4-methyl-2-oxo-2,3-dihydrobenzoxazol-6-yl)ethyl 4-(2-oxo-1,3,4,5-tetrahydro-1,3-benzodiazepin-3-yl)piperidine-1-

carboxylate, which underwent amidation with 1-(tetrahydropyran-4-yl)piperazine to give compound II. All the invention compds. were evaluated for their CGRP binding affinity. The tested compds. exhibited IC₅₀ values ≥ 10 000 nM.

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1005390 CAPLUS
DN 145:356814
TI Preparation of 2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-ylpiperidines and related compounds as CGRP receptor antagonists
IN Mueller, Stephan Georg; Rudolf, Klaus; Lustenberger, Philipp; Stenkamp, Dirk; Santagostino, Marco; Paleari, Fabio; Doods, Henri; Arndt, Kirsten; Schaenzle, Gerhard
PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SO PCT Int. Appl., 231 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 6

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|----------|
| PI | WO 2006100009 | A1 | 20060928 | WO 2006-EP2515 | 20060318 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | WO 2005092880 | A1 | 20051006 | WO 2005-EP3094 | 20050323 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | WO 2005103037 | A2 | 20051103 | WO 2005-EP4104 | 20050418 |
| | WO 2005103037 | A3 | 20060112 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

| | | | | |
|---|----|----------|------------------|----------|
| EP 1770091 | A1 | 20070404 | EP 2005-21283 | 20050929 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | |
| AU 2006226615 | A1 | 20060928 | AU 2006-226615 | 20060318 |
| CA 2600909 | A1 | 20060928 | CA 2006-2600909 | 20060318 |
| EP 1863799 | A1 | 20071212 | EP 2006-723538 | 20060318 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| IN 2007DN06658 | A | 20070921 | IN 2007-DN6658 | 20070828 |
| MX 200711527 | A | 20071019 | MX 2007-11527 | 20070919 |
| CN 101146799 | A | 20080319 | CN 2006-80009586 | 20070924 |
| KR 2007114831 | A | 20071204 | KR 2007-724324 | 20071023 |
| PRAI WO 2005-EP3094 | A | 20050323 | | |
| WO 2005-EP4104 | A | 20050418 | | |
| EP 2005-21283 | A | 20050929 | | |
| DE 2004-102004015723 | A | 20040329 | | |
| DE 2004-102004019492 | A | 20040422 | | |
| WO 2006-EP2515 | W | 20060318 | | |
| OS MARPAT 145:356814 | | | | |
| GI | | | | |



AB Title compds. I [X = CH₂, NH, O, etc.; R₁ = substituted 2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-ylpiperidines, etc.; R₂ = 5-methylquinoxalines, 8-methylimidazo[1,2-a]pyridines, etc.; R₃ = substituted piperidines, piperazines, etc.; R₄ = 4 to 7-membered ocycloalkyl ring with provisos] and their pharmaceutically acceptable salts and formulations were prepared. For example, benzodiazepinylpiperidine II was prepared from 5-amino-m-cresol in 8-steps. In CGRP receptor inhibition assays, compds. I exhibited IC₅₀ values ≤ 10000 nM.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1005389 CAPLUS

DN 145:377393

TI Preparation of 2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-ylpiperidines as CGRP receptor antagonists

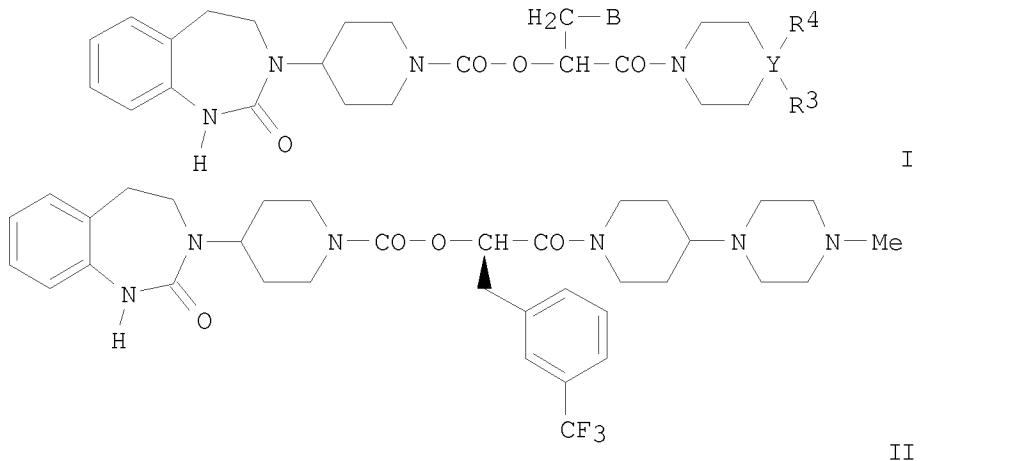
IN Mueller, Stephan Georg; Rudolf, Klaus; Lustenberger, Philipp; Stenkamp,
 Dirk; Santagostino, Marco; Paleari, Fabio; Dreyer, Alexander; Arndt,
 Kirsten; Doods, Henri; Schaenzle, Gerhard
 PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim
 Pharma G.m.b.H. & Co. K.-G.
 SO PCT Int. Appl., 183 pp.
 CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 6

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|------------------|----------|
| PI | WO 2006100026 | A1 | 20060928 | WO 2006-EP2557 | 20060321 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | WO 2005092880 | A1 | 20051006 | WO 2005-EP3094 | 20050323 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2006226544 | A1 | 20060928 | AU 2006-226544 | 20060321 |
| | CA 2600189 | A1 | 20060928 | CA 2006-2600189 | 20060321 |
| | EP 1863791 | A1 | 20071212 | EP 2006-723571 | 20060321 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU | | | | |
| | NO 2007003758 | A | 20071019 | NO 2007-3758 | 20070719 |
| | MX 200711526 | A | 20071019 | MX 2007-11526 | 20070919 |
| | CN 101146790 | A | 20080319 | CN 2006-80009598 | 20070924 |
| | KR 2007113317 | A | 20071128 | KR 2007-724261 | 20071022 |
| PRAI | WO 2005-EP3094 | A | 20050323 | | |
| | DE 2004-102004015723 | A | 20040329 | | |
| | WO 2006-EP2557 | W | 20060321 | | |
| OS | MARPAT 145:377393 | | | | |
| GI | | | | | |



AB Title compds. I [B = substituted Ph, phenols, anilines, etc.; Y = C, N; R3 = cyclopentyl, cyclohexyl, cycloheptyl; R4 = H with provisos] and their pharmaceutically acceptable salts were prepared. For example, benzodiazepinylpiperidine II was prepared from 3-trifluoromethylbenzaldehyde in 7-steps. In CGRP receptor inhibition assays, compds. I exhibited IC₅₀ values ≤ 10000 nM.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2006:656692 CAPLUS
 DN 145:96491
 TI Use of CGRP antagonists in treatment and prevention of hot flushes in prostate cancer patients
 IN Rudolf, Klaus; Doods, Henri; Mueller, Stephan Georg; Zamponi, Annette; Lustenberger, Philipp; Stenkamp, Dirk; Arndt, Kirsten; Schaenzle, Gerhard; Brickl, Rolf-Stefan
 PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
 SO PCT Int. Appl., 46 pp.
 CODEN: PIXXD2
 DT Patent
 LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|----------------------|----------|
| PI | WO 2006069754 | A1 | 20060706 | WO 2005-EP13974 | 20051223 |
| | WO 2006069754 | A9 | 20070809 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| | DE 102004063755 | A1 | 20060720 | DE 2004-102004063755 | 20041229 |
| | US 20060154921 | A1 | 20060713 | US 2005-301422 | 20051213 |

| | | | | |
|--|----|----------|-----------------|----------|
| CA 2592278 | A1 | 20060706 | CA 2005-2592278 | 20051223 |
| EP 1833484 | A1 | 20070919 | EP 2005-843728 | 20051223 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| US 20070249592 | A1 | 20071025 | US 2007-774995 | 20070709 |
| PRAI DE 2004-102004063755 A | | 20041229 | | |
| US 2005-301422 | A1 | 20051213 | | |
| WO 2005-EP13974 | W | 20051223 | | |

AB The invention discloses a method for treatment or prevention of hot flushes in men who underwent castration, e.g. due to androgen ablation treatment in prostate cancer therapy, comprising administration of an effective amount of a selected CGRP antagonist to the patient, as well as the use of the active compds. for the manufacture of a pharmaceutical composition

intended to be used in this method.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

| | | | | | |
|-----------------------------|---|----------|----------|----------------------|----------|
| L4 | ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN | | | | |
| AN | 2006:636811 CAPLUS | | | | |
| DN | 145:76714 | | | | |
| TI | Use of selected CGRP antagonists for combating menopausal hot flushes | | | | |
| IN | Rudolf, Klaus; Doods, Henri; Mueller, Stephan Georg; Zamponi, Annette; Lustenberger, Philipp; Arndt, Kirsten; Schaenzle, Gerhard; Stenkamp, Dirk; Brickl, Rolf-Stefan | | | | |
| PA | Boehringer Ingelheim International GmbH, Germany | | | | |
| SO | U.S. Pat. Appl. Publ., 21 pp. CODEN: USXXCO | | | | |
| DT | Patent | | | | |
| LA | English | | | | |
| FAN.CNT 1 | | | | | |
| | PATENT NO. KIND DATE APPLICATION NO. DATE | | | | |
| PI | ----- | ----- | ----- | ----- | |
| | US 20060142274 | A1 | 20060629 | US 2005-301446 | 20051213 |
| | DE 102004063752 | A1 | 20060713 | DE 2004-102004063752 | 20041229 |
| | CA 2594097 | A1 | 20060713 | CA 2005-2594097 | 20051223 |
| | WO 2006072415 | A1 | 20060713 | WO 2005-EP13972 | 20051223 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | EP 1833483 | A1 | 20070919 | EP 2005-823294 | 20051223 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| PRAI DE 2004-102004063752 A | | 20041229 | | | |
| WO 2005-EP13972 | W | 20051223 | | | |
| AB | The invention discloses the use of selected CGRP antagonists, the physiol. acceptable salts thereof or the hydrates or the hydrates of the salts thereof for combating menopausal hot flushes. A variety of formations are included. | | | | |

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:636805 CAPLUS

DN 145:96481
 TI Use of selected CGRP antagonists in combination with other antimigraine drugs for the treatment of migraine
 IN Rudolf, Klaus; Doods, Henri; Mueller, Stephan Georg; Zamponi, Annette; Lustenberger, Philipp; Arndt, Kirsten; Schaenzle, Gerhard; Stenkamp, Dirk; Brickl, Rolf-Stefan
 PA Boehringer Ingelheim International GmbH, Germany
 SO U.S. Pat. Appl. Publ., 22 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|---|----------|----------------------|----------|
| PI | US 20060142273 | A1 | 20060629 | US 2005-275169 | 20051216 |
| | DE 102004063753 | A1 | 20060713 | DE 2004-102004063753 | 20041229 |
| | CA 2594096 | A1 | 20060713 | CA 2005-2594096 | 20051223 |
| | WO 2006072413 | A1 | 20060713 | WO 2005-EP13964 | 20051223 |
| | | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | EP 1833478 | A1 | 20070919 | EP 2005-823228 | 20051223 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| | US 20080103134 | A1 | 20080501 | US 2007-962633 | 20071221 |
| PRAI | DE 2004-102004063753 | A | 20041229 | | |
| | US 2005-275169 | B1 | 20051216 | | |
| | WO 2005-EP13964 | W | 20051223 | | |

AB The invention discloses a process for the treatment or prevention of indications which are selected from among the group comprising headaches, migraine and cluster headaches, the process comprising the joint administration of a therapeutically effective amount of a selected CGRP antagonist (A), a physiol. acceptable salt thereof or a hydrate of the salt and a therapeutically effective amount of a second or third active anti-migraine medicament (B), particularly sumatriptan, zolmitriptan, or dihydroergotamine, or a physiol. acceptable salt thereof, as well as the corresponding pharmaceutical compns. and the preparation thereof. A variety of formulations are included.

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:1154551 CAPLUS
 DN 143:422350
 TI Preparation of 1,3-dihydro-3-(4-piperidinyl)-2H-imidazo[4,5-c]quinolin-2-ones and related compounds as cgrp antagonists
 IN Rudolf, Klaus; Mueller, Stephan Georg; Lustenberger, Philipp; Stenkamp, Dirk; Schaenzle, Gerhard; Arndt, Kirsten; Doods, Henri
 PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. KG
 SO PCT Int. Appl., 185 pp.
 CODEN: PIXXD2
 DT Patent
 LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|----------------------|----------|
| PI | WO 2005100352 | A1 | 20051027 | WO 2005-EP3759 | 20050409 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | DE 102004018796 | A1 | 20051103 | DE 2004-102004018796 | 20040415 |
| | CA 2563386 | A1 | 20051027 | CA 2005-2563386 | 20050409 |
| | EP 1737860 | A1 | 20070103 | EP 2005-729383 | 20050409 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU | | | | |
| | JP 2007532601 | T | 20071115 | JP 2007-507727 | 20050409 |
| | US 20050250763 | A1 | 20051110 | US 2005-107052 | 20050415 |
| PRAI | DE 2004-102004018796 | A | 20040415 | | |
| | US 2004-569948P | P | 20040511 | | |
| | WO 2005-EP3759 | W | 20050409 | | |
| OS | MARPAT 143:422350 | | | | |
| GI | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = substituted Ph, i.e., CF₃, NH₂, Cl, etc.; X = O, CH₂, NH; R₁ = 1,3-dihydro-2H-imidazo[4,5-c]quinolin-2-onyl, 1,3-dihydro-2H-benzimidazol-2-one, etc.; NR₂R₃ = 1,4'-bipiperidinyl, 1-methyl-4-(4-piperidinyl)piperazinyl, 1-(1-methyl-4-piperidinyl)piperazinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, coupling of 1,4'-bipiperidine and acid II afforded imidazo[4,5-c]quinolin-2-one III in 76% yield. In human cgrp receptor assays, compds. I exhibited IC₅₀ values ≤ 1000 nM.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:1152762 CAPLUS
 DN 143:440448
 TI Preparation of 3-piperidin-4-yl-1,3,4,5-tetrahydro-1,3-benzodiazepin-2-ones and related compounds as CGRP antagonists
 IN Mueller, Stephan Georg; Rudolf, Klaus; Lustenberger, Philipp; Stenkamp, Dirk; Arndt, Kirsten; Doods, Henri; Schaenzle, Gerhard
 PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
 SO Ger. Offen., 51 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

| | | | | | |
|------|---|----|----------|----------------------|----------|
| PI | DE 102004018795 | A1 | 20051027 | DE 2004-102004018795 | 20040415 |
| | CA 2562526 | A1 | 20051027 | CA 2005-2562526 | 20050409 |
| | WO 2005100343 | A1 | 20051027 | WO 2005-EP3741 | 20050409 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1737842 | A1 | 20070103 | EP 2005-731650 | 20050409 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU | | | | |
| | JP 2007532600 | T | 20071115 | JP 2007-507723 | 20050409 |
| | US 20050282857 | A1 | 20051222 | US 2005-107195 | 20050415 |
| | US 20070238715 | A1 | 20071011 | US 2007-688123 | 20070319 |
| PRAI | DE 2004-102004018795 | A | 20040415 | | |
| | US 2004-570005P | P | 20040511 | | |
| | WO 2005-EP3741 | W | 20050409 | | |
| | US 2005-107195 | B1 | 20050415 | | |
| OS | MARPAT 143:440448 | | | | |
| GI | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = substituted Ph, i.e., CF₃, NH₂, Cl, etc.; X = O, CH₂, NH; R₁ = 3,4-dihydro-2(1H)-quinazolinonyl, 1,3,4,5-tetrahydro-2H-benzo-1,3-diazepin-2-onyl; NR₂R₃ = 1,4'-bipiperidinyl, 1-methyl-4-(4-piperidinyl)piperazinyl, 1-(1-methyl-4-piperidinyl)piperazinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, coupling of 4-(2-piperidin-1-yl-ethyl)piperidine and acid II afforded benz diazepin-2-one III in 64% yield. In human cgrp receptor assays, compds. I exhibited IC₅₀ values ≤ 1000 nM.

L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:1075789 CAPLUS
 DN 143:367334
 TI Preparation of 3-piperidin-4-yl-1,3,4,5-tetrahydro-1,3-benz diazepin-2-ones as OCGRP receptor antagonists
 IN Mueller, Stephan Georg; Rudolf, Klaus; Lustenberger, Philipp; Stenkamp, Dirk; Dreyer, Alexander; Arndt, Kirsten; Doods, Henri; Schaenzle, Gerhard; Santagostino, Marco; Paleari, Fabio
 PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
 SO PCT Int. Appl., 318 pp.
 CODEN: PIXXD2

DT Patent
 LA German
 FAN.CNT 6

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|----------|
| | ----- | --- | ----- | ----- | ----- |
| PI | WO 2005092880 | A1 | 20051006 | WO 2005-EP3094 | 20050323 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, | | | | |

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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
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 DE 102004015723 A1 20051020 DE 2004-102004015723 20040329
 AU 2005225539 A1 20051006 AU 2005-225539 20050323
 CA 2558889 A1 20051006 CA 2005-2558889 20050323
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 CN 1976916 A 20070606 CN 2005-80017545 20050323
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 AU 2006226615 A1 20060928 AU 2006-226615 20060318
 CA 2600909 A1 20060928 CA 2006-2600909 20060318
 WO 2006100009 A1 20060928 WO 2006-EP2515 20060318
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 EP 1863799 A1 20071212 EP 2006-723538 20060318
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 CA 2600189 A1 20060928 CA 2006-2600189 20060321
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 EP 1863791 A1 20071212 EP 2006-723571 20060321
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU
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 NO 2006004166 A 20061025 NO 2006-4166 20060914
 MX 2006PA11145 A 20061129 MX 2006-PA11145 20060928

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| KR | 2007007867 | A | 20070116 | KR | 2006-722593 | 20061027 |
| NO | 2007003758 | A | 20071019 | NO | 2007-3758 | 20070719 |
| IN | 2007DN06658 | A | 20070921 | IN | 2007-DN6658 | 20070828 |
| MX | 200711526 | A | 20071019 | MX | 2007-11526 | 20070919 |
| MX | 200711527 | A | 20071019 | MX | 2007-11527 | 20070919 |
| CN | 101146799 | A | 20080319 | CN | 2006-80009586 | 20070924 |
| CN | 101146790 | A | 20080319 | CN | 2006-80009598 | 20070924 |
| KR | 2007113317 | A | 20071128 | KR | 2007-724261 | 20071022 |
| KR | 2007114831 | A | 20071204 | KR | 2007-724324 | 20071023 |
| PRAI | DE 2004-102004015723 | A | 20040329 | | | |
| US | 2004-566394P | P | 20040429 | | | |
| AR | 2005-101139 | A | 20050323 | | | |
| WO | 2005-EP3094 | W | 20050323 | | | |
| WO | 2005-EP4104 | A | 20050418 | | | |
| EP | 2005-21283 | A | 20050929 | | | |
| WO | 2006-EP2515 | W | 20060318 | | | |
| WO | 2006-EP2557 | W | 20060321 | | | |
| OS | MARPAT 143:367334 | | | | | |
| GI | | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = O, S; X = O, S; D, E = CH, N with provisos; G = CRa; M = CRb; Q = CRc; Ra, Rb, Rc = H, halo, alkyl, etc.; R1 = 5 to 7-membered heterocycle; R2 = H, Ph, pyridinyl, etc.; R3 = H, Ph, pyridinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, coupling of 1-(1-methylpiperidin-4-yl)piperazine and carboxylic acid II afforded benzodiazepine III in 87% yield. In human CGRP receptor inhibition assays, compds. I exhibited IC₅₀ values ≤ 10000 nM.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:370923 CAPLUS
DN 140:391302
TI Preparation of benzo-1,3-diazepin-2-ones and related compounds as CGRP receptor antagonists for the treatment of migraine headaches
IN Rudolf, Klaus; Mueller, Stephan Georg; Stenkamp, Dirk; Lustenberger, Philipp; Dreyer, Alexander; Bauer, Eckhart; Schindler, Marcus; Arndt, Kirsten; Doods, Henri
PA Boehringer Ingelheim, Germany
SO PCT Int. Appl., 254 pp.
CODEN: PIXXD2

DT Patent
LA German
FAN.CNT 6

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| PI WO 2004037811 | A1 | 20040506 | WO 2003-EP11763 | 20031023 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, | | | | |

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| | BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE | 10250082 | A1 | 20040513 | DE 2002-10250082 | 20021025 |
| US | 20040132716 | A1 | 20040708 | US 2003-685921 | 20031015 |
| CA | 2503462 | A1 | 20040506 | CA 2003-2503462 | 20031023 |
| AU | 2003276157 | A1 | 20040513 | AU 2003-276157 | 20031023 |
| EP | 1558601 | A1 | 20050803 | EP 2003-809318 | 20031023 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR | 2003015642 | A | 20050830 | BR 2003-15642 | 20031023 |
| CN | 1708492 | A | 20051214 | CN 2003-80101980 | 20031023 |
| JP | 2006505573 | T | 20060216 | JP 2004-545964 | 20031023 |
| NZ | 540006 | A | 20070531 | NZ 2003-540006 | 20031023 |
| ZA | 2005002247 | A | 20050919 | ZA 2005-2247 | 20050317 |
| MX | 2005PA04188 | A | 20051005 | MX 2005-PA4188 | 20050420 |
| IN | 2005DN01641 | A | 20070119 | IN 2005-DN1641 | 20050421 |
| NO | 2005002493 | A | 20050524 | NO 2005-2493 | 20050524 |
| IN | 2006DN05460 | A | 20070803 | IN 2006-DN5460 | 20060920 |
| US | 20070244099 | A1 | 20071018 | US 2007-757743 | 20070604 |
| PRAI | DE 2002-10250082 | A | 20021025 | | |
| | US 2002-426167P | P | 20021114 | | |
| | US 2003-685921 | B1 | 20031015 | | |
| | WO 2003-EP11763 | W | 20031023 | | |
| | DE 2004-102004015723 | A | 20040329 | | |
| OS | MARPAT 140:391302 | | | | |
| GI | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = O, S, phenylsulfonylimino, etc.; X = O, S, substituted imino, etc.; Y, Z = alkyl, difluoromethyl, trifluoromethyl, etc.; R1 = 5-7 membered aza, diaza, triaza, etc. heterocycle; R2 = H, phenylmethyl, alkyl, etc.; R3 = H, Ph, pyridinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, benzo-1,3-diazepin-2-one II was prepared from 1-(3,4-diethylphenyl)ethanone in 8-steps. In human CGRP receptor binding affinity assays, compds. I exhibited IC50 values < 10000 nM. Compds. I are claimed useful for the treatment of migraine headaches.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:370922 CAPLUS
DN 140:391301
TI Preparation of benzo-1,3-diazepin-2-ones and related compounds as CGRP receptor antagonists for the treatment of migraine headaches
IN Rudolf, Klaus; Mueller, Stephan Georg; Stenkamp, Dirk; Lustenberger, Philipp; Dreyer, Alexander; Bauer, Eckhart; Schindler, Marcus; Kirsten, Arndt; Doods, Henri
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SO PCT Int. Appl., 315 pp.
CODEN: PIXXD2

DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | WO 2004037810 | A1 | 20040506 | WO 2003-EP11762 | 20031023 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |

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|---|----|----------|------------------|----------|
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| GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, | | | | |
| LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, | | | | |
| OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, | | | | |
| TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, | | | | |
| KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, | | | | |
| FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, | | | | |
| BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10250080 | A1 | 20040513 | DE 2002-10250080 | 20021025 |
| US 20060079504 | A1 | 20060413 | US 2003-687262 | 20031016 |
| CA 2503455 | A1 | 20040506 | CA 2003-2503455 | 20031023 |
| AU 2003276156 | A1 | 20040513 | AU 2003-276156 | 20031023 |
| EP 1558600 | A1 | 20050803 | EP 2003-809317 | 20031023 |
| EP 1558600 | B1 | 20080507 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |
| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003015665 | A | 20050830 | BR 2003-15665 | 20031023 |
| CN 1708493 | A | 20051214 | CN 2003-80102004 | 20031023 |
| JP 2006516244 | T | 20060629 | JP 2004-545963 | 20031023 |
| NZ 540051 | A | 20080229 | NZ 2003-540051 | 20031023 |
| AT 394392 | T | 20080515 | AT 2003-809317 | 20031023 |
| ZA 2005002248 | A | 20060830 | ZA 2005-2248 | 20050317 |
| IN 2005DN01640 | A | 20070323 | IN 2005-DN1640 | 20050421 |
| MX 2005PA04375 | A | 20050705 | MX 2005-PA4375 | 20050425 |
| NO 2005002496 | A | 20050624 | NO 2005-2496 | 20050524 |
| PRAI DE 2002-10250080 | A | 20021025 | | |
| US 2002-426168P | P | 20021114 | | |
| WO 2003-EP11762 | W | 20031023 | | |
| OS MARPAT 140:391301 | | | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = O, S, phenylsulfonylimino, etc.; X = O, S, substituted imino, etc.; U = alkyl, alkenyl, alkynyl, etc.; V = Cl, Br, amino, etc.; W = H, halo, difluoromethyl, etc.; R1 = 5-7 membered aza, diaza, triaza, etc. heterocycle; R2 = H, phenylmethyl, alkyl, etc.; R3 = H, Ph, pyridinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, benzo-1,3-diazepin-2-one II was prepared from 4-amino-3-chloro-5-trifluoromethylbenzoic acid in 9-steps. In human CGRP receptor binding affinity assays, compds. I exhibited IC₅₀ values < 10000 nM. Compds. I are claimed useful for the treatment of migraine headaches.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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| | |
|--|--|
| L4 | ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN |
| IT | 688019-33-2P |
| RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) | |
| (preparation of benzo-1,3-diazepin-2-ones and related compds. as CGRP receptor antagonists for the treatment of migraine headaches) | |
| RN | 688019-33-2 CAPLUS |

CN 1-Piperidinecarboxylic acid, 4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-, (1R)-1-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-2-[4-(4-methyl-1-piperazinyl)-1-piperidinyl]-2-oxoethyl ester (CA INDEX NAME)

Absolute stereochemistry.

